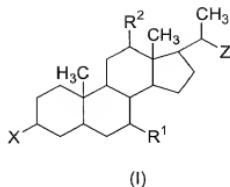


WHAT IS CLAIMED IS:

1. A compound of formula (I):



(I)

wherein:

R¹ and R² are independently hydrogen or hydroxy;

5 X is selected from the group consisting of hydroxy and Q¹-G- where:

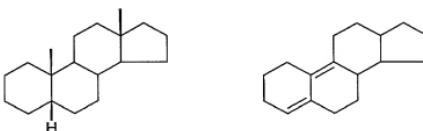
G is -O-, -C(O)O- or -NH-;

Q¹ is a group derived from a linear oligopeptide comprising a first moiety D and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (I) under physiological conditions;

10 D is a drug containing at least one carboxylic acid group and at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally

15 occurring α -amino acid or an ester or carboxamide of a naturally occurring α -amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α -amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen

mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:



Z is selected from the group consisting of:

(i) a substituted alkyl group containing a moiety which is negatively

5 charged at physiological pH, which moiety is selected from the group consisting of -COOH, -SO₃H, -SO₂H, -P(O)(OR⁶)(OH), -OP(O)(OR⁶)(OH), -OSO₃H and the like, and where R⁶ is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; and

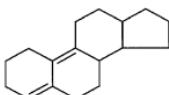
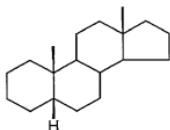
(ii) a group of the formula -M-Q^x, wherein M is selected from the group

10 consisting of -CH₂OC(O)- and -CH₂CH₂C(O)-, and wherein Q^x is a group derived from a linear oligopeptide comprising a first moiety D' and further comprising from 1 to 3 amino acids, and wherein said group is cleavable under physiological conditions;

D' is a drug containing at least one carboxylic acid group and at least one

15 moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α-amino acid or an ester or carboxamide of a naturally occurring α-
20 amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α-amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen

mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:



or a pharmaceutically acceptable salt thereof;

provided that when X is hydroxy, then Z is a group of formula -M-Q^x.

- 5 2. The compound according to Claim 1 wherein X is Q^x-G-, and
wherein Q^x is of one of the following two structures:



or



10 wherein

I is $-[NR^{50}-(CR^{51}R^{52})_a-(CR^{53}R^{54})_b-C(O)]-$;

J is $-[NR^{55}-(CR^{56}R^{57})_c-(CR^{58}R^{59})_d-C(O)]-$;

K is $-[NR^{60}-(CR^{61}R^{62})_e-(CR^{63}R^{64})_f-C(O)]-$;

T is $-[C(O)-(CR^{65}R^{66})_g-(CR^{67}R^{68})_h-NR^{69}]-$;

U is $-[C(O)-(CR^{70}R^{71})_m-(CR^{72}R^{73})_n-NR^{74}]-$;

V is $-[C(O)-(CR^{75}R^{76})_o-(CR^{77}R^{78})_p-NR^{79}]-$;

R⁴⁰ is -OH or -OR¹⁷;

R⁴¹ is -H, -C(O)R¹⁷, or -C(O)OR¹⁷;

R¹⁷ is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 5 a, b, c, d, e, f, g, h, m, n, o and p are independently 0 or 1, where at least one of a and b is 1; at least one of c and d is 1; at least one of e and f is 1; at least one of g and h is 1; at least one of m and n is 1; at least one of o and p is 1;
i, j, k, t, u and v are independently 0 or 1, where at least one of i, j and k is 1; at least one of t, u and v is 1;

10 R⁵⁰ is hydrogen or R⁵⁰ and R⁵¹ together with the atoms to which they are attached form a heterocyclyl ring;

15 R⁵¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵¹ and R⁵² together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁵¹ and R⁵³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

20 R⁵² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

25 R⁵³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵³ and R⁵⁴ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁵⁴ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁵⁵ is hydrogen or R⁵⁵ and R⁵⁶, together with the atoms to which they are attached form a heterocyclyl ring;

- 5 R⁵⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵⁶ and R⁵⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁵⁶ and R⁵⁸ together with the atoms to which they are attached form a

10 cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁵⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

15 R⁵⁸ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵⁸ and R⁵⁹ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

20 R⁵⁹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

25 R⁶⁰ is hydrogen or R⁶⁰ and R⁶¹, together with the atoms to which they are attached form a heterocyclyl ring;

R⁶¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶¹ and R⁶² together with the atoms to which they are attached form a

cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring, or R⁶¹ and R⁶³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

5 R⁶² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

10 R⁶³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶³ and R⁶⁴ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

15 R⁶⁴ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

20 R⁶⁵ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶⁵ and R⁶⁶ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring, or R⁶⁵ and R⁶⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

25 R⁶⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁶⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶⁷ and R⁶⁸ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

- 5 R⁶⁸ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁶⁹ is hydrogen or R⁶⁹ and R⁶⁸ together with the atoms to which they are attached form a heterocyclyl ring;

- 10 R⁷⁰ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷⁰ and R⁷¹ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁷⁰ and R⁷² together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

- 15 R⁷¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 20 R⁷² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷² and R⁷³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

- 25 R⁷³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁷⁴ is hydrogen or R⁷⁴ and R⁷³ together with the atoms to which they are attached form a heterocycl ring;

R⁷⁵ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷⁵ and R⁷⁶ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring, or R⁷⁵ and R⁷⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R⁷⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

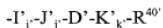
R⁷⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷⁷ and R⁷⁸ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R⁷⁸ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl; and

R⁷⁹ is hydrogen or R⁷⁹ and R⁷⁸ together with the atoms to which they are attached form a heterocycl ring;

wherein the bond between J₁ or U_u and D and any amino acid to which it is attached is an amide or ester bond.

3. The compound according to Claim 1, wherein Z is a substituted alkyl group of the formula $-M-Q'$, and wherein Q' is of the following structure:



wherein

5 I' is $-[NR^{50'}-(CR^{51'}R^{52'})_a-(CR^{53'}R^{54'})_b-C(O)]-$;

J' is $-[NR^{55'}-(CR^{56'}R^{57'})_c-(CR^{58'}R^{59'})_d-C(O)]-$;

K' is $-[NR^{60'}-(CR^{61'}R^{62'})_e-(CR^{63'}R^{64'})_f-C(O)]-$;

R^{40'} is -OH or -OR¹⁷;

10 R¹⁷ is alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

a', b', c', d', e' and f' are independently 0 or 1, wherein at least one of a' and b' is 1; at least one of c' and d' is 1; at least one of e' and f' is 1;

15 i', j' and k' are independently 0 or 1, wherein at least one of i', j' and k' is 1;

R^{50'} is hydrogen or R^{50'} and R^{51'} together with the atoms to which they are attached form a heterocyclyl ring;

20 R^{51'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{51'} and R^{52'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{51'} and R^{53'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

25 R^{52'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{53'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{53'} and R^{54'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{54'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{55'} is hydrogen or R^{55'} and R^{56'}, together with the atoms to which they are attached form a heterocyclyl ring;

R^{56'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{56'} and R^{57'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R^{56'} and R^{58'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{57'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{58'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{58'} and R^{59'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁵⁹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

5 R⁶⁰ is hydrogen or R⁶⁰ and R⁶¹, together with the atoms to which they are attached form a heterocycl ring;

R⁶¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶¹ and R⁶² together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁶¹ and R⁶³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

15 R⁶² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁶³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶³ and R⁶⁴ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

20 R⁶⁴ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

25 wherein the bond between J'_j and D' and any amino acid to which it is attached is an amide or ester bond.

4. The compound according to Claim 1, wherein R¹ and R² are both α-OH; R¹ is β-OH and R² is hydrogen; R¹ is α-OH and R² is hydrogen; R¹ is hydrogen and R² is α-OH; R¹ is β-OH and R² is α-OH; or R¹ and R² are both hydrogen.

5 5. The compound according to Claim 2, wherein I, J, K, T, U and V are moieties derived from naturally occurring α-amino acids.

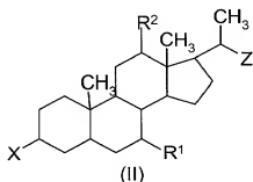
6. The compound according to Claim 3, wherein I', J' and K' are moieties derived from naturally occurring α-amino acids.

7. The compound according to Claim 5, wherein b, c, d, e, f, g, h, j, 10 k, m, n, o and p are 0, and wherein a and i are 1.

8. The compound according to Claim 6, wherein b', c', d', e', f', g', h', j', k', m', n', o' and p' are 0, and wherein a' and i' are 1.

9. The compound according to Claim 1, wherein X is hydroxy, and wherein Q^{x'} is -I'_{i'}-J'_{j'}-D'-K'_{k'}-R^{40'}.

15 10. A compound of formula (II):



wherein:

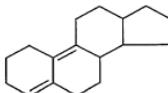
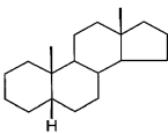
R¹ and R² are independently hydrogen or hydroxy;

X is selected from the group consisting of hydroxy and P^x-G- where:

G is -O-, -C(O)O- or -NH-;

5 P^x is a group derived from a linear oligopeptide comprising a first moiety D'' and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (II) under physiological conditions;

D'' is a drug containing at least one moiety selected from the group consisting of a primary amino group, a secondary amino group or a hydroxyl group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α -amino acid or an ester or carboxamide of a naturally occurring α -amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α -amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or paramagnetic ion chelates thereof; histamine or tyramine; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; fluvalinate; or a steroid containing the carbon substructures of the following formulae:



20 Z is selected from the group consisting of:

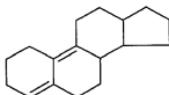
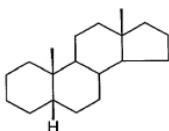
(i) a substituted alkyl group containing a moiety which is negatively charged at physiological pH, which moiety is selected from the group consisting of -COOH, -SO₃H, -SO₂H, -P(O)(OR⁶)(OH), -OP(O)(OR⁶)(OH), -OSO₃H and

the like, and where R⁶ is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl;

and

(ii) a group of the formula -M-P^x, wherein M is selected from the group
5 consisting of -CH₂OC(O)- and -CH₂CH₂C(O)-, and wherein P^x is a group
derived from a linear oligopeptide comprising a first moiety D^{'''} and further
comprising from 1 to 3 amino acids, and wherein said group is cleavable under
physiological conditions;

D^{'''} is a drug containing at least one moiety selected from the group
10 consisting of a primary amino group, a secondary amino group or a hydroxyl
group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-
aromatic amino acid decarboxylase inhibitor, a catechol O-methyl transferase
inhibitor or derivatives thereof; a naturally occurring α -amino acid or an ester or
carboxamide of a naturally occurring α -amino acid; a polypeptide derived from
15 a linear oligopeptide containing at least 3 α -amino acids; an oligonucleotide; a
cyclophane derivative, a diethylenetriaminopentaacetate derivative, or
paramagnetic ion chelates thereof; histamine or tyramine; 5-de-O-
methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an
HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; fluvanilate; or a
20 steroid containing the carbon substructures of the following formulae:



or a pharmaceutically acceptable salt thereof;

provided that when X is hydroxy, then Z is a group of formula -M-P^x.

11. The compound according to Claim 10 wherein X is P^x-G-, G is -C(O)O-, and wherein P^x is of the following structure:

-I_i-J_j-K_k-D''

wherein

I is -[NR⁵⁰-(CR⁵¹R⁵²)_a-(CR⁵³R⁵⁴)_b-C(O)]-;

J is -[NR⁵⁵-(CR⁵⁶R⁵⁷)_c-(CR⁵⁸R⁵⁹)_d-C(O)]-;

K is -[NR⁶⁰-(CR⁶¹R⁶²)_e-(CR⁶³R⁶⁴)_f-C(O)]-;

a, b, c, d, e and f are independently 0 or 1, where at least one of a and b is 1; at least one of c and d is 1; at least one of e and f is 1;

i, j and k are independently 0 or 1, where at least one of i, j and k is 1;

R⁵⁰ is hydrogen or R⁵⁰ and R⁵¹ together with the atoms to which they are attached form a heterocycl ring;

R⁵¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵¹ and R⁵² together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring, or R⁵¹ and R⁵³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R⁵² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁵³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵³ and R⁵⁴ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R⁵⁴ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 5 R⁵⁵ is hydrogen or R⁵⁵ and R⁵⁶, together with the atoms to which they are attached form a heterocyclyl ring;

R⁵⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl

- 10 or R⁵⁶ and R⁵⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁵⁶ and R⁵⁸ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

- 15 R⁵⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 20 R⁵⁸ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁵⁸ and R⁵⁹ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

- 25 R⁵⁹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- R⁶⁰ is hydrogen or R⁶⁰ and R⁶¹, together with the atoms to which they are attached form a heterocyclyl ring;

R⁶¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶¹ and R⁶² together with the atoms to which they are attached form a

- 5 cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁶¹ and R⁶³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁶² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

10 R⁶³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶³ and R⁶⁴ together with the atoms to which they are attached form a

15 cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁶⁴ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 20 wherein the bond between K_k and D'' and any amino acid to which it is attached is an amide or ester bond.

12. The compound according to Claim 10, wherein Z is a substituted alkyl group of the formula -M-P^x, and wherein P^x is of the following structure:

25 -I'_i-J'_j-K'_k-D'''

wherein

I' is -[NR⁵⁰-(CR⁵¹R⁵²)_a-(CR⁵³R⁵⁴)_b-C(O)]-

J' is $-[NR^{55'}-(CR^{56'}R^{57'})_e-(CR^{58'}R^{59'})_d-C(O)]-$;

K' is $-[NR^{60'}-(CR^{61'}R^{62'})_e-(CR^{63'}R^{64'})_f-C(O)]-$;

a', b', c', d', e' and f' are independently 0 or 1, where at least one of a'
and b' is 1; at least one of c' and d' is 1; at least one of e' and f' is 1;

5 i', j' and k' are independently 0 or 1, where at least one of i', j' and k' is
1;

R^{50'} is hydrogen or R^{50'} and R^{51'} together with the atoms to which they are
attached form a heterocycl ring;

10 R^{51'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl,
alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl,
substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl
or R^{51'} and R^{52'} together with the atoms to which they are attached form a
cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring,
or R^{51'} and R^{53'} together with the atoms to which they are attached form a
15 cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R^{52'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl,
alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl,
substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted
heteroaryl;

20 R^{53'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl,
alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl,
substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl
or R^{53'} and R^{54'} together with the atoms to which they are attached form a
cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

25 R^{54'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl,
alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl,
substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted
heteroaryl;

R^{55'} is hydrogen or R^{55'} and R^{56'}, together with the atoms to which they are attached form a heterocycl ring;

R^{56'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{56'} and R^{57'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring, or R^{56'} and R^{58'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R^{57'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{58'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{58'} and R^{59'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring;

R^{59'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{60'} is hydrogen or R^{60'} and R^{61'}, together with the atoms to which they are attached form a heterocycl ring;

R^{61'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocycl, substituted heterocycl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{61'} and R^{62'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocycl or substituted heterocycl ring,

or R^{61'} and R^{63'} together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{62'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl,

5 substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R^{63'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R^{63'} and R^{64'} together with the atoms to which they are attached form a

cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{64'} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

15 wherein the bond between K'_k and D''' and any amino acid to which it is attached is an amide or ester bond.

13. The compound according to Claim 10, wherein R¹ and R² are both α -OH; R¹ is β -OH and R² is hydrogen; R¹ is α -OH and R² is hydrogen;

20 R¹ is hydrogen and R² is α -OH; R¹ is β -OH and R² is α -OH; or R¹ and R² are both hydrogen.

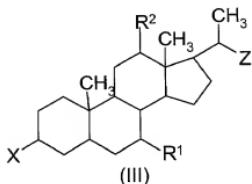
14. The compound according to Claim 11, wherein I, J and K are moieties derived from naturally occurring α -amino acids.

15. The compound according to Claim 12, wherein I', J' and K' are 25 moieties derived from naturally occurring α -amino acids.

16. The compound according to Claim 14, wherein b, c, d, e, f, j and k are 0, and wherein a and i are 1.

17. The compound according to Claim 15, wherein b', c', d', e', f', j' and k' are 0, and wherein a' and i' 1.

5 18. A compound of formula (III):



wherein:

R¹ and R² are independently hydrogen or hydroxy;

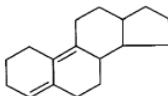
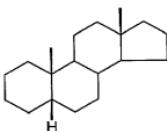
X is selected from the group consisting of hydroxy and S*-G- where:

G is -O-, or -NH-;

10 S* is a group derived from a linear oligopeptide comprising a first moiety D* and further comprising from 1 to 3 amino acids, and wherein said group is cleavable from (III) under physiological conditions;

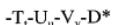
D* is a drug containing at least one carboxylic acid group, with the provisos that the drug is not a GABA analog; L-Dopa, an L-aromatic amino acid 15 decarboxylase inhibitor, a catechol O-methyl transferase inhibitor or derivatives thereof; a naturally occurring α -amino acid or an ester or carboxamide of a naturally occurring α -amino acid; a polypeptide derived from a linear oligopeptide containing at least 3 α -amino acids; an oligonucleotide; a cyclophane derivative, a diethylenetriaminopentaacetate derivative, or

paramagnetic ion chelates thereof; 5-de-O-methylsporaricin; a bis-(2-chloroethyl)amine containing nitrogen mustard; an HMG-CoA reductase inhibitor; a proline hydroxylase inhibitor; or a steroid containing the carbon substructures of the following formulae:



- 5 Z is selected from the group consisting of:
a substituted alkyl group containing a moiety which is negatively charged at physiological pH, which moiety is selected from the group consisting of -COOH, -SO₃H, -SO₂H, -P(O)(OR⁶)(OH), -OP(O)(OR⁶)(OH), -OSO₃H and the like, and where R⁶ is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl.
- 10

19. The compound according to Claim 18 wherein X is S^x-G-, and wherein S^x is of the following structure:



wherein:

- 15 T is $-[C(O)-(CR^{65}R^{66})_g-(CR^{67}R^{68})_h-NR^{69}]-$;
- U is $-[C(O)-(CR^{70}R^{71})_m-(CR^{72}R^{73})_n-NR^{74}]-$;
- V is $-[C(O)-(CR^{75}R^{76})_o-(CR^{77}R^{78})_p-NR^{79}]-$;
- g, h, m, n, o and p are independently 0 or 1, where at least one of g and h is 1; at least one of m and n is 1; at least one of o and p is 1;
- 20 t, u and v are independently 0 or 1, where at least one of t, u and v is 1;

R⁶⁵ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶⁵ and R⁶⁶ together with the atoms to which they are attached form a

- 5 cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁶⁵ and R⁶⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁶⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

R⁶⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁶⁷ and R⁶⁸ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁶⁸ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

- 20 heteroaryl;
- R⁶⁹ is hydrogen or R⁶⁹ and R⁶⁸ together with the atoms to which they are attached form a heterocyclyl ring;

R⁷⁰ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷⁰ and R⁷¹ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁷⁰ and R⁷² together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R⁷¹ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

5 R⁷² is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷² and R⁷³ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

10 R⁷³ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

15 R⁷⁴ is hydrogen or R⁷⁴ and R⁷³ together with the atoms to which they are attached form a heterocyclyl ring;

R⁷⁵ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or R⁷⁵ and R⁷⁶ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or R⁷⁵ and R⁷⁷ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

20 R⁷⁶ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl;

25 R⁷⁷ is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl

or R⁷⁷ and R⁷⁸ together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

R^{78} is hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl or substituted heteroaryl; and

R^{79} is hydrogen or R^{79} and R^{78} together with the atoms to which they are attached form a heterocyclyl ring;

wherein the bond between V_v and D^* and any amino acid to which it is attached is an amide bond.

20. The compound according to Claim 18, wherein R¹ and R² are both α-OH; R¹ is β-OH and R² is hydrogen; R¹ is α-OH and R² is hydrogen; R¹ is hydrogen and R² is α-OH; R¹ is β-OH and R² is α-OH; or R¹ and R² are both hydrogen.

21. The compound according to Claim 19, wherein T, U and V are moieties derived from naturally occurring α -amino acids.

22. The compound according to Claim 19, wherein h, m, n, o, p, u and v are 0, and wherein g and t are 1.

23. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a compound according to Claims 1, 10 or 18.